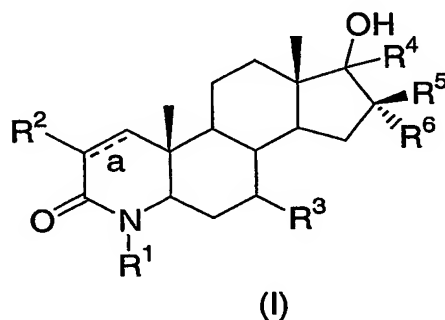


WHAT IS CLAIMED IS:

1. A method for modulating a function mediated by the androgen receptor in a tissue selective manner in a subject in need thereof comprising
 5 administering a therapeutically effective amount of a compound of structural formula I:



- or a pharmaceutically acceptable salt thereof; wherein
 “a” represents a single bond or a double bond;
 10 R¹ is hydrogen, hydroxymethyl, or C₁₋₃ alkyl, wherein alkyl is unsubstituted or substituted with one to seven fluorine atoms;
 R² is hydrogen, fluorine, or C₁₋₄ alkyl when “a” represents a double bond; or two R² substituents are each independently hydrogen, fluorine, or C₁₋₄ alkyl when “a” represents a single bond;
 15 R³ is hydrogen or C₁₋₃ alkyl;
 R⁴ is hydrogen, C₁₋₄ alkyl, C₂₋₄ alkenyl, or C₂₋₄ alkynyl;
 one of R⁵ and R⁶ is hydrogen or methyl and the other is selected from the group consisting of
 (a) hydrogen,
 20 (b) C₁₋₈ alkyl,
 (c) C₂₋₈ alkenyl,
 wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C₁₋₄ alkoxy, C₁₋₄ alkoxyC₁₋₄ alkoxy, and C₁₋₃ alkylloxycarbonyl;
 25 (d) fluoro,
 (e) cyano,
 (f) hydroxy,

- (g) C₁₋₆ alkoxy,
- (h) C₁₋₆ alkylcarbonyloxy,
- (i) C₁₋₆ alkylthio,
- (j) C₁₋₆ alkylsulfonyl,
- 5 (k) C₃₋₈ cycloalkyl C₀₋₆ alkyl,
- (l) C₃₋₈ cycloheteroalkyl C₀₋₆ alkyl,
- (m) aryl C₀₋₆ alkyl,
- (n) aryl C₂₋₄ alkenyl,
- (o) amino,
- 10 (p) C₁₋₃ acylamino,
- (q) aryl C₁₋₃ acylamino,
- (r) C₁₋₆ alkylamino,
- (s) di(C₁₋₆ alkyl)amino,
- (t) aryl C₀₋₃ alkylamino,
- 15 (u) di(aryl C₀₋₃ alkyl)amino,
- (v) C₃₋₆ cycloalkyl C₀₋₂ alkylamino,
- (w) C₁₋₈ alkylsulfonylamino,
- (x) aryl C₀₋₃ alkylsulfonylamino,
- (y) C₁₋₈ alkyloxycarbonylamino,
- 20 (z) aryl C₀₋₃ alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- (bb) C₁₋₈ alkylaminocarbonylamino,
- (cc) aryl C₀₋₃ alkylaminocarbonylamino,
- (dd) C₁₋₈ alkylaminocarbonyloxy, and
- 25 (ee) aryl C₀₋₃ alkylaminocarbonyloxy;

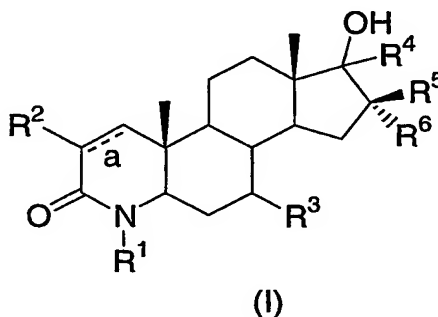
or R⁵ and R⁶ taken together with the carbon atom to which they are attached can form a C₃₋₆ spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC₀₋₄ alkyl;

- or R⁵ and R⁶ taken together can be =CR⁹R¹⁰, wherein R⁹ and R¹⁰ are each
- 30 independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl C₀₋₃ alkyl, C₃₋₆ cycloheteroalkyl C₀₋₃ alkyl, and aryl C₀₋₃ alkyl; or R⁹ and R¹⁰ taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC₁₋₄ alkyl, and NC₁₋₄ alkyloxycarbonyl;

aryl C₀₋₆ alkoxy C₀₋₆alkyl, hydroxycarbonyl C₀₋₆alkyl, C₁₋₆ alkoxycarbonyl C₀₋₆alkyl, aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl, hydroxycarbonyl C₁₋₆ alkyloxy, hydroxy C₀₋₆alkyl, cyano, nitro, perfluoroC₁₋₄alkyl, perfluoroC₁₋₄alkoxy, oxo, C₁₋₆ alkylcarbonyloxy, aryl C₀₋₆alkylcarbonyloxy, C₁₋₆ alkylcarbonylamino, aryl C₀₋₆ alkylcarbonylamino, C₁₋₆ alkylsulfonylamino, aryl C₀₋₆alkylsulfonylamino, C₁₋₆ alkoxycarbonylamino, aryl C₀₋₆ alkoxycarbonylamino, C₁₋₆alkylamino-carbonylamino, aryl C₀₋₆alkylaminocarbonylamino, (C₁₋₆alkyl)₂ aminocarbonylamino, (aryl C₀₋₆alkyl)₂ aminocarbonylamino, (C₁₋₆alkyl)₂ aminocarbonyloxy, and (aryl C₀₋₆alkyl)₂ aminocarbonyloxy.

10

2. A method of activating the function of the androgen receptor comprising administering to a subject in need thereof a therapeutically effective amount of a compound of structural formula I:



- 15 or a pharmaceutically acceptable salt thereof; wherein
 “a” represents a single bond or a double bond;
 R¹ is hydrogen, hydroxymethyl, or C₁₋₃ alkyl, wherein alkyl is unsubstituted or substituted with one to seven fluorine atoms;
 R² is hydrogen, fluorine, or C₁₋₄ alkyl when “a” represents a double bond; or two R²
 20 substituents are each independently hydrogen, fluorine, or C₁₋₄ alkyl when “a” represents a single bond;
 R³ is hydrogen or C₁₋₃ alkyl;
 R⁴ is hydrogen, C₁₋₄ alkyl, C₂₋₄ alkenyl, or C₂₋₄ alkynyl;
 one of R⁵ and R⁶ is hydrogen or methyl and the other is selected from the group
 25 consisting of
 (a) hydrogen,
 (b) C₁₋₈ alkyl,

wherein the aryl group above is selected from the group consisting of

- (1) phenyl,
- (2) naphthyl,
- (3) benzimidazolyl,
- 5 (4) benzofuranyl,
- (5) benzothiophenyl,
- (6) benzoxazolyl,
- (7) benzothiazolyl,
- (14) benzodihydrofuranyl,
- 10 (15) 1,3-benzodioxolyl,
- (10) indolyl,
- (11) quinolyl,
- (12) isoquinolyl,
- (13) furanyl,
- 15 (14) thienyl,
- (15) imidazolyl,
- (16) oxazolyl,
- (17) thiazolyl,
- (18) isoxazolyl,
- 20 (19) isothiazolyl,
- (20) pyrazolyl,
- (21) pyrrolyl,
- (22) pyridyl,
- (23) pyrimidyl,
- 25 (24) pyrazinyl,
- (25) thiadiazolyl,
- (26) oxadiazolyl,
- (27) triazolyl, and
- (28) tetrazolyl;
- 30 wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C₁₋₈ alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloheteroalkyl, aryl C₁₋₆alkyl, amino C₀₋₆alkyl, C₁₋₆ alkylamino C₀₋₆alkyl, (C₁₋₆ alkyl)₂amino C₀₋₆alkyl, aryl C₀₋₆ alkylamino C₀₋₆alkyl, (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl, C₁₋₆ alkylthio, aryl C₀₋₆alkylthio, C₁₋₆ alkylsulfinyl, aryl
- 35 C₀₋₆alkylsulfinyl, C₁₋₆ alkylsulfonyl, aryl C₀₋₆alkylsulfonyl, C₁₋₆ alkoxy C₀₋₆alkyl,

(c) C₂₋₈ alkenyl,

wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C₁₋₄ alkoxy, C₁₋₄ alkoxyC₁₋₄ alkoxy, and C₁₋₃ alkyloxycarbonyl;

- 5 (d) fluoro,
- (e) cyano,
- (f) hydroxy,
- (g) C₁₋₆ alkoxy,
- (h) C₁₋₆ alkylcarbonyloxy,
- 10 (i) C₁₋₆ alkylthio,
- (j) C₁₋₆ alkylsulfonyl,
- (k) C₃₋₈ cycloalkyl C₀₋₆ alkyl,
- (l) C₃₋₈ cycloheteroalkyl C₀₋₆ alkyl,
- (m) aryl C₀₋₆ alkyl,
- 15 (n) aryl C₂₋₄ alkenyl,
- (o) amino,
- (p) C₁₋₃ acylamino,
- (q) aryl C₁₋₃ acylamino,
- (r) C₁₋₆ alkylamino,
- 20 (s) di(C₁₋₆ alkyl)amino,
- (t) aryl C₀₋₃ alkylamino,
- (u) di(aryl C₀₋₃ alkyl)amino,
- (v) C₃₋₆ cycloalkyl C₀₋₂ alkylamino,
- (w) C₁₋₈ alkylsulfonylamino,
- 25 (x) aryl C₀₋₃ alkylsulfonylamino,
- (y) C₁₋₈ alkyloxycarbonylamino,
- (z) aryl C₀₋₃ alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- (bb) C₁₋₈ alkylaminocarbonylamino,
- 30 (cc) aryl C₀₋₃ alkylaminocarbonylamino,
- (dd) C₁₋₈ alkylaminocarbonyloxy, and
- (ee) aryl C₀₋₃ alkylaminocarbonyloxy;

or R⁵ and R⁶ taken together with the carbon atom to which they are attached

can form a C₃₋₆ spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC₀₋₄ alkyl;

or R⁵ and R⁶ taken together can be =CR⁹R¹⁰, wherein R⁹ and R¹⁰ are each independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₃₋₆

- 5 cycloalkyl C₀₋₃ alkyl, C₃₋₆ cycloheteroalkyl C₀₋₃ alkyl, and aryl C₀₋₃ alkyl; or R⁹ and R¹⁰ taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC₁₋₄ alkyl, and NC₁₋₄ alkyloxycarbonyl;

wherein the aryl group above is selected from the group consisting of

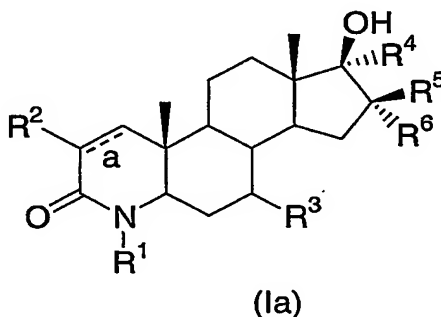
- 10 (1) phenyl,
(2) naphthyl,
(3) benzimidazolyl,
(4) benzofuranyl,
(5) benzothiophenyl,
15 (6) benzoxazolyl,
(7) benzothiazolyl,
(16) benzodihydrofuranyl,
(17) 1,3-benzodioxolyl,
(10) indolyl,
20 (11) quinolyl,
(12) isoquinolyl,
(13) furanyl,
(14) thienyl,
(15) imidazolyl,
25 (16) oxazolyl,
(17) thiazolyl,
(18) isoxazolyl,
(19) isothiazolyl,
(20) pyrazolyl,
30 (21) pyrrolyl,
(22) pyridyl,
(23) pyrimidyl,
(24) pyrazinyl,
(25) thiadiazolyl,

- (26) oxadiazolyl,
 (27) triazolyl, and
 (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted
 5 with one to three groups independently selected from halogen, aryl, C₁₋₈ alkyl, C₃₋₈
 cycloalkyl, C₃₋₈ cycloheteroalkyl, aryl C₁₋₆alkyl, amino C₀₋₆alkyl, C₁₋₆ alkylamino
 C₀₋₆alkyl, (C₁₋₆ alkyl)₂amino C₀₋₆alkyl, aryl C₀₋₆ alkylamino C₀₋₆alkyl, (aryl C₀₋₆
 alkyl)₂amino C₀₋₆alkyl, C₁₋₆ alkylthio, aryl C₀₋₆alkylthio, C₁₋₆ alkylsulfinyl, aryl
 C₀₋₆alkylsulfinyl, C₁₋₆ alkylsulfonyl, aryl C₀₋₆alkylsulfonyl, C₁₋₆ alkoxy C₀₋₆alkyl,
 10 aryl C₀₋₆ alkoxy C₀₋₆alkyl, hydroxycarbonyl C₀₋₆alkyl, C₁₋₆ alkoxycarbonyl C₀₋₆
 alkyl, aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl, hydroxycarbonyl C₁₋₆ alkyloxy, hydroxy
 C₀₋₆alkyl, cyano, nitro, perfluoroC₁₋₄alkyl, perfluoroC₁₋₄alkoxy, oxo, C₁₋₆
 alkylcarbonyloxy, aryl C₀₋₆alkylcarbonyloxy, C₁₋₆ alkylcarbonylamino, aryl C₀₋₆
 alkylcarbonylamino, C₁₋₆ alkylsulfonylamino, aryl C₀₋₆alkylsulfonylamino, C₁₋₆
 15 alkoxycarbonylamino, aryl C₀₋₆ alkoxycarbonylamino, C₁₋₆alkylamino-
 carbonylamino, aryl C₀₋₆alkylaminocarbonylamino, (C₁₋₆alkyl)₂
 aminocarbonylamino, (aryl C₀₋₆alkyl)₂ aminocarbonylamino, (C₁₋₆alkyl)₂
 aminocarbonyloxy, and (aryl C₀₋₆alkyl)₂ aminocarbonyloxy.

20 3. The method of Claim 1 wherein said function mediated by the
 androgen receptor function is activated in bone and/or muscle tissue and blocked in
 the prostate of a male subject or in the uterus of a female subject.

25 4. The method of Claim 3 wherein the compound is of structural
 formula Ia



5. The method of Claim 3 wherein R¹ is hydrogen or methyl and R³ and R⁴ are both hydrogen.

6. The method of Claim 5 wherein "a" represents a double bond.

5

7. The method of Claim 3 wherein one of R⁵ and R⁶ is hydrogen or methyl and the other is selected from the group consisting of

(a) hydrogen,

(b) fluorine,

10 (c) C₁₋₃ alkyl, wherein alkyl is unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C₁₋₄ alkoxy, C₁₋₃ alkoxy-C₁₋₃ alkoxy, and C₁₋₃ alkyloxycarbonyl; and

(d) arylmethyl, wherein aryl is selected from the group consisting of phenyl, naphthyl, pyridyl, furanyl, pyrrolyl, thiazolyl, imidazolyl, benzofuranyl, and 1,3-benzodioxolyl, wherein the aryl group is unsubstituted or substituted with one to two groups independently selected from halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, trifluoromethyl, and trifluoromethoxy; or R⁵ and R⁶ taken together with the carbon atom to which they are attached can form a C₃₋₆ spirocyclic ring system optionally containing a heteroatom selected from O, S, NH, NC₁₋₄ alkyl, and N₁₋₄ alkyloxycarbonyl; or R⁵ and R⁶ taken together can be =CR⁹R¹⁰, wherein R⁹ and R¹⁰ are each independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl-C₀₋₃ alkyl, C₃₋₆ cycloheteroalkyl-C₀₋₃ alkyl, aryl C₀₋₁ alkyl; or R⁹ and R¹⁰ taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC₁₋₄ alkyl, and NC₁₋₄ alkyloxycarbonyl.

25

8. The method of Claim 7 wherein one of R⁵ and R⁶ is hydrogen and the other is arylmethyl wherein aryl is as defined in Claim 7.

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9. The method of Claim 8 wherein R¹ is hydrogen or methyl and R³ and R⁴ are both hydrogen.

10. The method of Claim 9 wherein "a" represents a double bond.

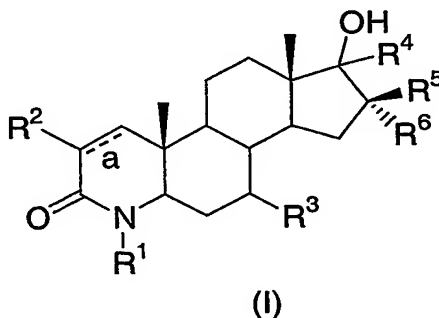
11. The method of Claim 7 wherein R⁵ and R⁶ taken together are =CHaryl wherein aryl is as defined in Claim 7.
- 5 12. The method of Claim 11 wherein R¹ is hydrogen or methyl and R³ and R⁴ are both hydrogen.
13. The method of Claim 12 wherein "a" represents a double bond.
- 10 14. The method of Claim 3 wherein the compound is selected from the group consisting of:
- 17β-hydroxy-7β-methyl-4-aza-5α-androst-1-en-3-one;
17α-hydroxy-7β-methyl-4-aza-5α-androst-1-en-3-one;
17β-hydroxy-4,16α-dimethyl-4-aza-5α-androst-1-en-3-one;
15 17β-hydroxy-4,16β-dimethyl-4-aza-5α-androst-1-en-3-one;
16α-fluoro-17β-hydroxy-4-methyl-4-aza-5α-androst-1-en-3-one;
16β-fluoro-17α-hydroxy-4-methyl-4-aza-5α-androst-1-en-3-one;
16α-fluoro-17β-hydroxy-4,17α-dimethyl-4-aza-5α-androst-1-en-3-one;
16β-fluoro-17β-hydroxy-4-methyl-4-aza-5α-androst-1-en-3-one;
20 2α-fluoro-17β-hydroxy-4-methyl-4-aza-5α-androstan-3-one;
2β-fluoro-17β-hydroxy-4-methyl-4-aza-5α-androstan-3-one;
2,2-difluoro-17β-hydroxy-4-methyl-4-aza-5α-androstan-3-one;
17β-hydroxy-2α,4-dimethyl-4-aza-5α-androstan-3-one;
17β-hydroxy-16α-(methoxymethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;
25 17β-hydroxy-16α-(ethoxymethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;
17β-hydroxy-16α-(2-methoxyethoxymethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;
17β-hydroxy-16α-(ethyloxycarbonylmethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;
17β-hydroxy-16α-(carboxymethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;
17β-hydroxy-16α-(2-hydroxyethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;
30 17β-hydroxy-16α-allyl-4-methyl-4-aza-5α-androst-1-en-3-one;
17β-hydroxy-4,16α,17α-trimethyl-4-aza-5α-androst-1-en-3-one;
17β-hydroxy-4-methyl-4-aza-5α-androstan-3-one;
17β-hydroxy-4,17α-dimethyl-4-aza-5α-androstan-3-one;
17β-hydroxy-17α-ethyl-4-methyl-4-aza-5α-androstan-3-one;
35 17β-hydroxy-4,17α-dimethyl-4-aza-5α-androst-1-en-3-one;

- 17 β -hydroxy-16 α -(2-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(3-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(4-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(2-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 5 17 β -hydroxy-16 α -(3-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(4-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(3-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(4-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(4-trifluoromethoxybenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 10 17 β -hydroxy-16 α -(3-methoxybenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -benzyl-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(2-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(2-naphthyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 β -(2-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 15 17 β -hydroxy-16 β -(3-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 β -(4-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(2-chlorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(3-fluorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(3-trifluoromethylbenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-
 20 one;
 17 β -hydroxy-16-(2-trifluoromethylbenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-
 one;
 17 β -hydroxy-16-(3-methoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-
 25 one;
 17 β -hydroxy-16-(5-methoxy-3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 α -
 androst-1-en-3-one;
 17 β -hydroxy-16-(3,5-difluorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(3,5-dichlorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 30 17 β -hydroxy-16-(3,4-dimethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(pyridin-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(pyridin-4-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(cyclopropylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(furan-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;

- 17 β -hydroxy-16-(1-methyl-imidazol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-cyclohexylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-ethylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
5 17 β -hydroxy-16-isopropylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-isobutylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(piperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(N-t-butyloxycarbonylpiperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
10 17 β -hydroxy-16-(1,3-thiazol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(benzofuran-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(5-hydroxymethyl-furan-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
15 17 β -hydroxy-16-(1-methyl-pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(2-ethoxy-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
20 17 β -hydroxy-16-(pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(2-methyl-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
25 17 β -hydroxy-16-(4-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(4-dimethylaminobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
30 17 β -hydroxy-16-(9-methoxy-quinolin-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(quinoxalin-6-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(quinoxalin-7-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(2-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
35 17 β -hydroxy-16-(3-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;

- 17 β -hydroxy-16-(4-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(pyridin-3-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(N-methylpiperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 5 17 β -hydroxy-16-(2-chloro-4-dimethylaminobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(2-amino-pyridin-3-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(3-carboxymethyl-benzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 10 one;
 17 β -hydroxy-16-(3-carboxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(3-nitrobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(4-nitrobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one; and
 17 β -hydroxy-16-(benzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 15 or a pharmaceutically acceptable salt thereof.

15. A method of preventing or treating a condition in a male subject which is caused by androgen deficiency or which can be ameliorated by androgen replacement which condition is selected from the group consisting of
 20 osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, HIV-wasting, cancer cachexia, obesity, aplastic and other anemias, and muscular dystrophies, comprising administering to the male subject in need of such prevention or treatment a prophylactically or therapeutically effective amount of a compound of formula I:



or a pharmaceutically acceptable salt thereof; wherein
 “a” represents a single bond or a double bond;

R¹ is hydrogen, hydroxymethyl, or C₁₋₃ alkyl, wherein alkyl is unsubstituted or substituted with one to seven fluorine atoms;

R² is hydrogen, fluorine, or C₁₋₄ alkyl when "a" represents a double bond; or two R² substituents are each independently hydrogen, fluorine, or C₁₋₄ alkyl when "a"

5 represents a single bond;

R³ is hydrogen or C₁₋₃ alkyl;

R⁴ is hydrogen, C₁₋₄ alkyl, C₂₋₄ alkenyl, or C₂₋₄ alkynyl;

one of R⁵ and R⁶ is hydrogen or methyl and the other is selected from the group consisting of

- 10 (a) hydrogen,
- (b) C₁₋₈ alkyl,
- (c) C₂₋₈ alkenyl,

wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy,

15 C₁₋₄ alkoxy, C₁₋₄ alkoxyC₁₋₄ alkoxy, and C₁₋₃ alkyloxycarbonyl;

- (d) fluoro,
- (e) cyano,
- (f) hydroxy,
- (g) C₁₋₆ alkoxy,
- 20 (h) C₁₋₆ alkylcarbonyloxy,
- (i) C₁₋₆ alkylthio,
- (j) C₁₋₆ alkylsulfonyl,
- (k) C₃₋₈ cycloalkyl C₀₋₆ alkyl,
- (l) C₃₋₈ cycloheteroalkyl C₀₋₆ alkyl,
- 25 (m) aryl C₀₋₆ alkyl,
- (n) aryl C₂₋₄ alkenyl,
- (o) amino,
- (p) C₁₋₃ acylamino,
- (q) aryl C₁₋₃ acylamino,
- 30 (r) C₁₋₆ alkylamino,
- (s) di(C₁₋₆ alkyl)amino,
- (t) aryl C₀₋₃ alkylamino,
- (u) di(aryl C₀₋₃ alkyl)amino,
- (v) C₃₋₆ cycloalkyl C₀₋₂ alkylamino,

- (w) C₁₋₈ alkylsulfonylamino,
- (x) aryl C₀₋₃ alkylsulfonylamino,
- (y) C₁₋₈ alkyloxycarbonylamino,
- (z) aryl C₀₋₃ alkyloxycarbonylamino,
- 5 (aa) aminocarbonylamino,
- (bb) C₁₋₈ alkylaminocarbonylamino,
- (cc) aryl C₀₋₃ alkylaminocarbonylamino,
- (dd) C₁₋₈ alkylaminocarbonyloxy, and
- (ee) aryl C₀₋₃ alkylaminocarbonyloxy;
- 10 or R⁵ and R⁶ taken together with the carbon atom to which they are attached can form a C₃₋₆ spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC₀₋₄ alkyl;
- or R⁵ and R⁶ taken together can be =CR⁹R¹⁰, wherein R⁹ and R¹⁰ are each independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl C₀₋₃ alkyl, C₃₋₆ cycloheteroalkyl C₀₋₃ alkyl, and aryl C₀₋₃ alkyl; or R⁹
- 15 and R¹⁰ taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC₁₋₄ alkyl, and NC₁₋₄ alkyloxycarbonyl;
- wherein the aryl group above is selected from the group consisting of
- 20 (1) phenyl,
- (2) naphthyl,
- (3) benzimidazolyl,
- (4) benzofuranyl,
- (5) benzothiophenyl,
- 25 (6) benzoxazolyl,
- (7) benzothiazolyl,
- (18) benzodihydrofuranyl,
- (19) 1,3-benzodioxolyl,
- (10) indolyl,
- 30 (11) quinolyl,
- (12) isoquinolyl,
- (13) furanyl,
- (14) thienyl,
- (15) imidazolyl,

- (16) oxazolyl,
 (17) thiazolyl,
 (18) isoxazolyl,
 (19) isothiazolyl,
 5 (20) pyrazolyl,
 (21) pyrrolyl,
 (22) pyridyl,
 (23) pyrimidyl,
 (24) pyrazinyl,
 10 (25) thiadiazolyl,
 (26) oxadiazolyl,
 (27) triazolyl, and
 (28) tetrazolyl;

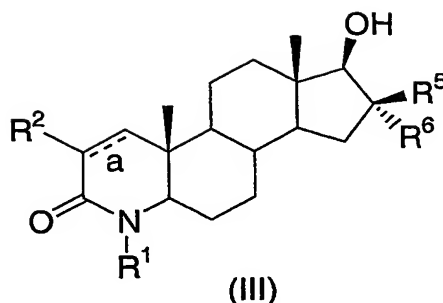
15 wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C₁₋₈ alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloheteroalkyl, aryl C₁₋₆alkyl, amino C₀₋₆alkyl, C₁₋₆ alkylamino C₀₋₆alkyl, (C₁₋₆ alkyl)₂amino C₀₋₆alkyl, aryl C₀₋₆ alkylamino C₀₋₆alkyl, (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl, C₁₋₆ alkylthio, aryl C₀₋₆alkylthio, C₁₋₆ alkylsulfinyl, aryl C₀₋₆alkylsulfinyl, C₁₋₆ alkylsulfonyl, aryl C₀₋₆alkylsulfonyl, C₁₋₆ alkoxy C₀₋₆alkyl,

20 aryl C₀₋₆ alkoxy C₀₋₆alkyl, hydroxycarbonyl C₀₋₆alkyl, C₁₋₆ alkoxycarbonyl C₀₋₆alkyl, aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl, hydroxycarbonyl C₁₋₆ alkyloxy, hydroxy C₀₋₆alkyl, cyano, nitro, perfluoroC₁₋₄alkyl, perfluoroC₁₋₄alkoxy, oxo, C₁₋₆ alkylcarbonyloxy, aryl C₀₋₆alkylcarbonyloxy, C₁₋₆ alkylcarbonylamino, aryl C₀₋₆ alkylcarbonylamino, C₁₋₆ alkylsulfonylamino, aryl C₀₋₆alkylsulfonylamino, C₁₋₆

25 alkoxycarbonylamino, aryl C₀₋₆ alkoxycarbonylamino, C₁₋₆alkylamino-carbonylamino, aryl C₀₋₆alkylaminocarbonylamino, (C₁₋₆alkyl)₂ aminocarbonylamino, (aryl C₀₋₆alkyl)₂ aminocarbonylamino, (C₁₋₆alkyl)₂ aminocarbonyloxy, and (aryl C₀₋₆alkyl)₂ aminocarbonyloxy.

30 16. The method of Claim 15 wherein said condition is osteoporosis.

17. The method of Claim 15 wherein the compound is of structural formula III:



or a pharmaceutically acceptable salt thereof; wherein

“a” represents a single bond or a double bond;

R¹ is hydrogen, hydroxymethyl, or C₁₋₃ alkyl, wherein alkyl is unsubstituted or

5 substituted with one to seven fluorine atoms;

R² is hydrogen, fluorine, or C₁₋₄ alkyl when “a” represents a double bond; or two R² substituents are each independently hydrogen, fluorine, or C₁₋₄ alkyl when “a” represents a single bond;

one of R⁵ and R⁶ is hydrogen or methyl and the other is selected from the group

10 consisting of

- (a) hydrogen,
- (b) C₁₋₈ alkyl,
- (c) C₂₋₈ alkenyl,

wherein alkyl and alkenyl are unsubstituted or substituted one to three groups

15 independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C₁₋₄ alkoxy, C₁₋₄ alkoxyC₁₋₄ alkoxy, and C₁₋₃ alkyloxycarbonyl;

- (d) fluoro,
- (e) cyano,
- (f) hydroxy,
- 20 (g) C₁₋₆ alkoxy,
- (h) C₁₋₆ alkylcarbonyloxy,
- (i) C₁₋₆ alkylthio,
- (j) C₁₋₆ alkylsulfonyl,
- (k) C₃₋₈ cycloalkyl C₀₋₆ alkyl,
- 25 (l) C₃₋₈ cycloheteroalkyl C₀₋₆ alkyl,
- (m) aryl C₀₋₆ alkyl,
- (n) aryl C₂₋₄ alkenyl,
- (o) amino,

- (p) C₁₋₃ acylamino,
- (q) aryl C₁₋₃ acylamino,
- (r) C₁₋₆ alkylamino,
- (s) di(C₁₋₆ alkyl)amino,
- 5 (t) aryl C₀₋₃ alkylamino,
- (u) di(aryl C₀₋₃ alkyl)amino,
- (v) C₃₋₆ cycloalkyl C₀₋₂ alkylamino,
- (w) C₁₋₈ alkylsulfonylamino,
- (x) aryl C₀₋₃ alkylsulfonylamino,
- 10 (y) C₁₋₈ alkyloxycarbonylamino,
- (z) aryl C₀₋₃ alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- (bb) C₁₋₈ alkylaminocarbonylamino,
- (cc) aryl C₀₋₃ alkylaminocarbonylamino,
- 15 (dd) C₁₋₈ alkylaminocarbonyloxy, and
- (ee) aryl C₀₋₃ alkylaminocarbonyloxy;

or R⁵ and R⁶ taken together with the carbon atom to which they are attached can form a C₃₋₆ spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC₀₋₄ alkyl;

- 20 or R⁵ and R⁶ taken together can be =CR⁹R¹⁰, wherein R⁹ and R¹⁰ are each independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl C₀₋₃ alkyl, C₃₋₆ cycloheteroalkyl C₀₋₃ alkyl, and aryl C₀₋₃ alkyl; or R⁹ and R¹⁰ taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH,
- 25 NC₁₋₄ alkyl, and NC₁₋₄ alkyloxycarbonyl;

wherein the aryl group above is selected from the group consisting of

- (1) phenyl,
- (2) naphthyl,
- (3) benzimidazolyl,
- 30 (4) benzofuranyl,
- (5) benzothiophenyl,
- (6) benzoxazolyl,
- (7) benzothiazolyl,
- (20) benzodihydrofuranyl,

- (21) 1,3-benzodioxolyl,
 (10) indolyl,
 (11) quinolyl,
 (12) isoquinolyl,
 5 (13) furanyl,
 (14) thienyl,
 (15) imidazolyl,
 (16) oxazolyl,
 (17) thiazolyl,
 10 (18) isoxazolyl,
 (19) isothiazolyl,
 (20) pyrazolyl,
 (21) pyrrolyl,
 (22) pyridyl,
 15 (23) pyrimidyl,
 (24) pyrazinyl,
 (25) thiadiazolyl,
 (26) oxadiazolyl,
 (27) triazolyl, and
 20 (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C₁₋₈ alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloheteroalkyl, aryl C₁₋₆alkyl, amino C₀₋₆alkyl, C₁₋₆ alkylamino C₀₋₆alkyl, (C₁₋₆ alkyl)₂amino C₀₋₆alkyl, aryl C₀₋₆ alkylamino C₀₋₆alkyl, (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl, C₁₋₆ alkylthio, aryl C₀₋₆alkylthio, C₁₋₆ alkylsulfinyl, aryl C₀₋₆alkylsulfinyl, C₁₋₆ alkylsulfonyl, aryl C₀₋₆alkylsulfonyl, C₁₋₆ alkoxy C₀₋₆alkyl, aryl C₀₋₆ alkoxy C₀₋₆alkyl, hydroxycarbonyl C₀₋₆alkyl, C₁₋₆ alkoxycarbonyl C₀₋₆alkyl, aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl, hydroxycarbonyl C₁₋₆ alkyloxy, hydroxy C₀₋₆alkyl, cyano, nitro, perfluoroC₁₋₄alkyl, perfluoroC₁₋₄alkoxy, oxo, C₁₋₆ alkylcarbonyloxy, aryl C₀₋₆alkylcarbonyloxy, C₁₋₆ alkylcarbonylamino, aryl C₀₋₆ alkylcarbonylamino, C₁₋₆ alkylsulfonylamino, aryl C₀₋₆alkylsulfonylamino, C₁₋₆ alkoxycarbonylamino, aryl C₀₋₆ alkoxycarbonylamino, C₁₋₆alkylamino-carbonylamino, aryl C₀₋₆alkylaminocarbonylamino, (C₁₋₆alkyl)₂ aminocarbonylamino, (aryl C₀₋₆alkyl)₂ aminocarbonylamino, (C₁₋₆alkyl)₂ aminocarbonyloxy, and (aryl C₀₋₆alkyl)₂ aminocarbonyloxy.

18. The method of Claim 15 wherein the compound is selected from the group consisting of:
- 17 β -hydroxy-7 β -methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 α -hydroxy-7 β -methyl-4-aza-5 α -androst-1-en-3-one;
 - 5 17 β -hydroxy-4,16 α -dimethyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-4,16 β -dimethyl-4-aza-5 α -androst-1-en-3-one;
 - 16 α -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 16 β -fluoro-17 α -hydroxy-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 16 α -fluoro-17 β -hydroxy-4,17 α -dimethyl-4-aza-5 α -androst-1-en-3-one;
 - 10 16 β -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 2 α -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androst-3-one;
 - 2 β -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androst-3-one;
 - 2,2-difluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androst-3-one;
 - 17 β -hydroxy-2 α ,4-dimethyl-4-aza-5 α -androst-3-one;
 - 15 17 β -hydroxy-16 α -(methoxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(ethoxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(2-methoxyethoxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(ethyloxycarbonylmethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(carboxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 20 17 β -hydroxy-16 α -(2-hydroxyethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -allyl-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-4,16 α ,17 α -trimethyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-4-methyl-4-aza-5 α -androst-3-one;
 - 17 β -hydroxy-4,17 α -dimethyl-4-aza-5 α -androst-3-one;
 - 25 17 β -hydroxy-17 α -ethyl-4-methyl-4-aza-5 α -androst-3-one;
 - 17 β -hydroxy-4,17 α -dimethyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(2-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(3-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(4-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 30 17 β -hydroxy-16 α -(2-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(3-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(4-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(3-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 17 β -hydroxy-16 α -(4-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 - 35 17 β -hydroxy-16 α -(4-trifluoromethoxybenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;

- 17 β -hydroxy-16 α -(3-methoxybenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -benzyl-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(2-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 α -(2-naphthyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 5 17 β -hydroxy-16 β -(2-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 β -(3-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16 β -(4-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(2-chlorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(3-fluorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 10 17 β -hydroxy-16-(3-trifluoromethylbenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(2-trifluoromethylbenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(3-methoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 15 17 β -hydroxy-16-(3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(5-methoxy-3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(3,5-difluorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 20 17 β -hydroxy-16-(3,5-dichlorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(3,4-dimethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(pyridin-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(pyridin-4-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(cyclopropylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 25 17 β -hydroxy-16-(furan-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(1-methyl-imidazol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-cyclohexylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-ethylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
 30 17 β -hydroxy-16-isopropylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-isobutylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(piperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-16-(N-t-butyloxycarbonylpiperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;

- 17 β -hydroxy-16-(1,3-thiazol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(benzofuran-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 5 17 β -hydroxy-16-(5-hydroxymethyl-furan-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(1-methyl-pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 10 17 β -hydroxy-16-(2-ethoxy-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(2-methyl-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 15 17 β -hydroxy-16-(3-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(4-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(4-dimethylaminobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 20 17 β -hydroxy-16-(9-methoxy-quinolin-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(quinoxalin-6-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(quinoxalin-7-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 25 17 β -hydroxy-16-(2-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(3-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(4-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(pyridin-3-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(N-methylpiperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 30 17 β -hydroxy-16-(2-chloro-4-dimethylaminobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(2-amino-pyridin-3-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;

one of R⁵ and R⁶ is hydrogen or methyl and the other is selected from the group consisting of

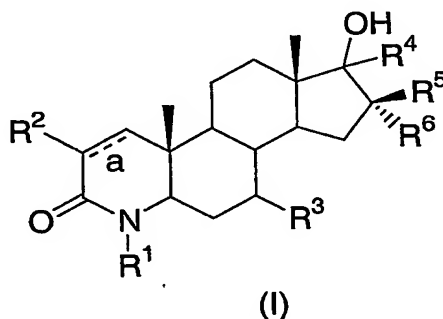
- (a) hydrogen,
- (b) C₁₋₈ alkyl,
- 5 (c) C₂₋₈ alkenyl,

wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C₁₋₄ alkoxy, C₁₋₄ alkoxyC₁₋₄ alkoxy, and C₁₋₃ alkyloxycarbonyl;

- (d) fluoro,
- 10 (e) cyano,
- (f) hydroxy,
- (g) C₁₋₆ alkoxy,
- (h) C₁₋₆ alkylcarbonyloxy,
- (i) C₁₋₆ alkylthio,
- 15 (j) C₁₋₆ alkylsulfonyl,
- (k) C₃₋₈ cycloalkyl C₀₋₆ alkyl,
- (l) C₃₋₈ cycloheteroalkyl C₀₋₆ alkyl,
- (m) aryl C₀₋₆ alkyl,
- (n) aryl C₂₋₄ alkenyl,
- 20 (o) amino,
- (p) C₁₋₃ acylamino,
- (q) aryl C₁₋₃ acylamino,
- (r) C₁₋₆ alkylamino,
- (s) di(C₁₋₆ alkyl)amino,
- 25 (t) aryl C₀₋₃ alkylamino,
- (u) di(aryl C₀₋₃ alkyl)amino,
- (v) C₃₋₆ cycloalkyl C₀₋₂ alkylamino,
- (w) C₁₋₈ alkylsulfonylamino,
- (x) aryl C₀₋₃ alkylsulfonylamino,
- 30 (y) C₁₋₈ alkyloxycarbonylamino,
- (z) aryl C₀₋₃ alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- (bb) C₁₋₈ alkylaminocarbonylamino,
- (cc) aryl C₀₋₃ alkylaminocarbonylamino,

17 β -hydroxy-16-(3-carboxymethyl-benzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;

19. A method of preventing or treating a condition in a female
10 subject which is caused by androgen deficiency or which can be ameliorated by
androgen replacement which condition is selected from the group consisting of
postmenopausal osteoporosis, osteopenia, glucocorticoid-induced osteoporosis,
periodontal disease, HIV-wasting, cancer cachexia, obesity, aplastic and other
anemias, muscular dystrophies, premature ovarian failure, and autoimmune disease,
15 comprising administering to the female subject in need of such prevention or
treatment a prophylactically or therapeutically effective amount of a compound of
formula I:



(dd) C₁₋₈ alkylaminocarbonyloxy, and

(ee) aryl C₀₋₃ alkylaminocarbonyloxy;

or R⁵ and R⁶ taken together with the carbon atom to which they are attached can form a C₃₋₆ spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC₀₋₄ alkyl;

or R⁵ and R⁶ taken together can be =CR⁹R¹⁰, wherein R⁹ and R¹⁰ are each independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl C₀₋₃ alkyl, C₃₋₆ cycloheteroalkyl C₀₋₃ alkyl, and aryl C₀₋₃ alkyl; or R⁹ and R¹⁰ taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC₁₋₄ alkyl, and NC₁₋₄ alkyloxycarbonyl;

wherein the aryl group above is selected from the group consisting of

- (1) phenyl,
- (2) naphthyl,
- (3) benzimidazolyl,
- (4) benzofuranyl,
- (5) benzothiophenyl,
- (6) benzoxazolyl,
- (7) benzothiazolyl,
- (22) benzodihydrofuranyl,
- (23) 1,3-benzodioxolyl,
- (10) indolyl,
- (11) quinolyl,
- (12) isoquinolyl,
- (13) furanyl,
- (14) thienyl,
- (15) imidazolyl,
- (16) oxazolyl,
- (17) thiazolyl,
- (18) isoxazolyl,
- (19) isothiazolyl,
- (20) pyrazolyl,
- (21) pyrrolyl,
- (22) pyridyl,

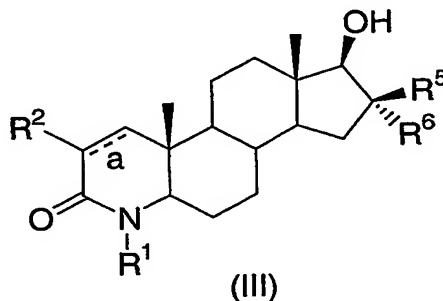
- (23) pyrimidyl,
 (24) pyrazinyl,
 (25) thiadiazolyl,
 (26) oxadiazolyl,
 5 (27) triazolyl, and
 (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C₁₋₈ alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloheteroalkyl, aryl C₁₋₆alkyl, amino C₀₋₆alkyl, C₁₋₆ alkylamino C₀₋₆alkyl, (C₁₋₆ alkyl)₂amino C₀₋₆alkyl, aryl C₀₋₆ alkylamino C₀₋₆alkyl, (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl, C₁₋₆ alkylthio, aryl C₀₋₆alkylthio, C₁₋₆ alkylsulfinyl, aryl C₀₋₆alkylsulfinyl, C₁₋₆ alkylsulfonyl, aryl C₀₋₆alkylsulfonyl, C₁₋₆ alkoxy C₀₋₆alkyl, aryl C₀₋₆ alkoxy C₀₋₆alkyl, hydroxycarbonyl C₀₋₆alkyl, C₁₋₆ alkoxycarbonyl C₀₋₆alkyl, aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl, hydroxycarbonyl C₁₋₆ alkyloxy, hydroxy C₀₋₆alkyl, cyano, nitro, perfluoroC₁₋₄alkyl, perfluoroC₁₋₄alkoxy, oxo, C₁₋₆ alkylcarbonyloxy, aryl C₀₋₆alkylcarbonyloxy, C₁₋₆ alkylcarbonylamino, aryl C₀₋₆ alkylcarbonylamino, C₁₋₆ alkylsulfonylamino, aryl C₀₋₆alkylsulfonylamino, C₁₋₆ alkoxycarbonylamino, aryl C₀₋₆ alkoxycarbonylamino, C₁₋₆alkylamino-carbonylamino, aryl C₀₋₆alkylaminocarbonylamino, (C₁₋₆alkyl)₂ aminocarbonylamino, (aryl C₀₋₆alkyl)₂ aminocarbonylamino, (C₁₋₆alkyl)₂ aminocarbonyloxy, and (aryl C₀₋₆alkyl)₂ aminocarbonyloxy.

20. The method of Claim 19 wherein said condition is osteoporosis.

25

21. The method of Claim 19 wherein the compound is of structural formula III:



or a pharmaceutically acceptable salt thereof; wherein

“a” represents a single bond or a double bond;

R¹ is hydrogen, hydroxymethyl, or C₁₋₃ alkyl, wherein alkyl is unsubstituted or substituted with one to seven fluorine atoms;

- 5 R² is hydrogen, fluorine, or C₁₋₄ alkyl when “a” represents a double bond; or two R² substituents are each independently hydrogen, fluorine, or C₁₋₄ alkyl when “a” represents a single bond;

one of R⁵ and R⁶ is hydrogen or methyl and the other is selected from the group consisting of

- 10 (a) hydrogen,
(b) C₁₋₈ alkyl,
(c) C₂₋₈ alkenyl,

wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy,

- 15 C₁₋₄ alkoxy, C₁₋₄ alkoxyC₁₋₄ alkoxy, and C₁₋₃ alkyloxycarbonyl;

- (d) fluoro,
(e) cyano,
(f) hydroxy,
(g) C₁₋₆ alkoxy,
20 (h) C₁₋₆ alkylcarbonyloxy,
(i) C₁₋₆ alkylthio,
(j) C₁₋₆ alkylsulfonyl,
(k) C₃₋₈ cycloalkyl C₀₋₆ alkyl,
(l) C₃₋₈ cycloheteroalkyl C₀₋₆ alkyl,
25 (m) aryl C₀₋₆ alkyl,
(n) aryl C₂₋₄ alkenyl,
(o) amino,
(p) C₁₋₃ acylamino,
(q) aryl C₁₋₃ acylamino,
30 (r) C₁₋₆ alkylamino,
(s) di(C₁₋₆ alkyl)amino,
(t) aryl C₀₋₃ alkylamino,
(u) di(aryl C₀₋₃ alkyl)amino,
(v) C₃₋₆ cycloalkyl C₀₋₂ alkylamino,
35 (w) C₁₋₈ alkylsulfonylamino,

- (x) aryl C₀₋₃ alkylsulfonylamino,
- (y) C₁₋₈ alkyloxycarbonylamino,
- (z) aryl C₀₋₃ alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- 5 (bb) C₁₋₈ alkylaminocarbonylamino,
- (cc) aryl C₀₋₃ alkylaminocarbonylamino,
- (dd) C₁₋₈ alkylaminocarbonyloxy, and
- (ee) aryl C₀₋₃ alkylaminocarbonyloxy;

10 or R⁵ and R⁶ taken together with the carbon atom to which they are attached can form a C₃₋₆ spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC₀₋₄ alkyl;

or R⁵ and R⁶ taken together can be =CR⁹R¹⁰, wherein R⁹ and R¹⁰ are each independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl C₀₋₃ alkyl, C₃₋₆ cycloheteroalkyl C₀₋₃ alkyl, and aryl C₀₋₃ alkyl; or R⁹
 15 and R¹⁰ taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC₁₋₄ alkyl, and NC₁₋₄ alkyloxycarbonyl;

wherein the aryl group above is selected from the group consisting of

- (1) phenyl,
- 20 (2) naphthyl,
- (3) benzimidazolyl,
- (4) benzofuranyl,
- (5) benzothiophenyl,
- (6) benzoxazolyl,
- 25 (7) benzothiazolyl,
- (24) benzodihydrofuranyl,
- (25) 1,3-benzodioxolyl,
- (10) indolyl,
- (11) quinolyl,
- 30 (12) isoquinolyl,
- (13) furanyl,
- (14) thienyl,
- (15) imidazolyl,
- (16) oxazolyl,

- (17) thiazolyl,
 (18) isoxazolyl,
 (19) isothiazolyl,
 (20) pyrazolyl,
 5 (21) pyrrolyl,
 (22) pyridyl,
 (23) pyrimidyl,
 (24) pyrazinyl,
 (25) thiadiazolyl,
 10 (26) oxadiazolyl,
 (27) triazolyl, and
 (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C₁₋₈ alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloheteroalkyl, aryl C₁₋₆alkyl, amino C₀₋₆alkyl, C₁₋₆ alkylamino C₀₋₆alkyl, (C₁₋₆ alkyl)₂amino C₀₋₆alkyl, aryl C₀₋₆ alkylamino C₀₋₆alkyl, (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl, C₁₋₆ alkylthio, aryl C₀₋₆alkylthio, C₁₋₆ alkylsulfinyl, aryl C₀₋₆alkylsulfinyl, C₁₋₆ alkylsulfonyl, aryl C₀₋₆alkylsulfonyl, C₁₋₆ alkoxy C₀₋₆alkyl, aryl C₀₋₆ alkoxy C₀₋₆alkyl, hydroxycarbonyl C₀₋₆alkyl, C₁₋₆ alkoxycarbonyl C₀₋₆alkyl, aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl, hydroxycarbonyl C₁₋₆ alkyloxy, hydroxy C₀₋₆alkyl, cyano, nitro, perfluoroC₁₋₄alkyl, perfluoroC₁₋₄alkoxy, oxo, C₁₋₆ alkylcarbonyloxy, aryl C₀₋₆alkylcarbonyloxy, C₁₋₆ alkylcarbonylamino, aryl C₀₋₆ alkylcarbonylamino, C₁₋₆ alkylsulfonylamino, aryl C₀₋₆alkylsulfonylamino, C₁₋₆ alkoxycarbonylamino, aryl C₀₋₆ alkoxycarbonylamino, C₁₋₆alkylamino-carbonylamino, aryl C₀₋₆alkylaminocarbonylamino, (C₁₋₆alkyl)₂ aminocarbonylamino, (aryl C₀₋₆alkyl)₂ aminocarbonylamino, (C₁₋₆alkyl)₂ aminocarbonyloxy, and (aryl C₀₋₆alkyl)₂ aminocarbonyloxy.

22. The method of Claim 19 wherein the compound is selected from the group consisting of:
 17 β -hydroxy-7 β -methyl-4-aza-5 α -androst-1-en-3-one;
 17 α -hydroxy-7 β -methyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-4,16 α -dimethyl-4-aza-5 α -androst-1-en-3-one;
 17 β -hydroxy-4,16 β -dimethyl-4-aza-5 α -androst-1-en-3-one;
 35 16 α -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androst-1-en-3-one;

- 16 β -fluoro-17 α -hydroxy-4-methyl-4-aza-5 α -androst-1-en-3-one;
16 α -fluoro-17 β -hydroxy-4,17 α -dimethyl-4-aza-5 α -androst-1-en-3-one;
16 β -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androst-1-en-3-one;
2 α -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androstan-3-one;
5 2 β -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androstan-3-one;
2,2-difluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androstan-3-one;
17 β -hydroxy-2 α ,4-dimethyl-4-aza-5 α -androstan-3-one;
17 β -hydroxy-16 α -(methoxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(ethoxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
10 17 β -hydroxy-16 α -(2-methoxyethyloxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(ethyloxycarbonylmethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(carboxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(2-hydroxyethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -allyl-4-methyl-4-aza-5 α -androst-1-en-3-one;
15 17 β -hydroxy-4,16 α ,17 α -trimethyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-4-methyl-4-aza-5 α -androstan-3-one;
17 β -hydroxy-4,17 α -dimethyl-4-aza-5 α -androstan-3-one;
17 β -hydroxy-17 α -ethyl-4-methyl-4-aza-5 α -androstan-3-one;
17 β -hydroxy-4,17 α -dimethyl-4-aza-5 α -androst-1-en-3-one;
20 17 β -hydroxy-16 α -(2-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(3-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(4-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(2-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(3-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
25 17 β -hydroxy-16 α -(4-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(3-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(4-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(4-trifluoromethoxybenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(3-methoxybenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
30 17 β -hydroxy-16 α -benzyl-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(2-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(2-naphthyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 β -(2-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 β -(3-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
35 17 β -hydroxy-16 β -(4-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;

- 17 β -hydroxy-16-(2-chlorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3-fluorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3-trifluoromethylbenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
5 17 β -hydroxy-16-(2-trifluoromethylbenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3-methoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
10 17 β -hydroxy-16-(5-methoxy-3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3,5-difluorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3,5-dichlorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3,4-dimethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
15 17 β -hydroxy-16-(pyridin-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(pyridin-4-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(cyclopropylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(furan-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(1-methyl-imidazol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
20 17 β -hydroxy-16-cyclohexylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-ethylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-isopropylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-isobutylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
25 17 β -hydroxy-16-(piperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(N-t-butyloxycarbonylpiperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(1,3-thiazol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
30 17 β -hydroxy-16-(benzofuran-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(5-hydroxymethyl-furan-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(1-methyl-pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
35 3-one;

- 17 β -hydroxy-16-(pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(2-ethoxy-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
5 17 β -hydroxy-16-(2-methyl-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(4-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
10 17 β -hydroxy-16-(4-dimethylaminobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(9-methoxy-quinolin-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
15 17 β -hydroxy-16-(quinoxalin-6-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(quinoxalin-7-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(2-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(4-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
20 17 β -hydroxy-16-(pyridin-3-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(N-methylpiperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(2-chloro-4-dimethylaminobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
25 17 β -hydroxy-16-(2-amino-pyridin-3-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3-carboxymethyl-benzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3-carboxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
30 17 β -hydroxy-16-(3-nitrobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(4-nitrobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one; and
17 β -hydroxy-16-(benzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
or a pharmaceutically acceptable salt thereof.

23. The method of Claim 20 which further comprises the administration of a bone-strengthening agent selected from the group consisting of:

- (a) an estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- 5 (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an $\alpha_v\beta_3$ integrin receptor antagonist,
- (e) a cathepsin K inhibitor,
- (f) an HMG-CoA reductase inhibitor,
- 10 (g) an osteoclast vacuolar ATPase inhibitor,
- (h) an antagonist of VEGF binding to osteoclast receptors,
- (i) an activator of peroxisome proliferator-activated receptor γ ,
- (j) calcitonin,
- (k) a calcium receptor antagonist,
- 15 (l) parathyroid hormone or analog thereof,
- (m) a growth hormone secretagogue,
- (n) human growth hormone,
- (o) insulin-like growth factor,
- (p) a P-38 protein kinase inhibitor,
- 20 (q) bone morphogenetic protein,
- (r) an inhibitor of BMP antagonism,
- (s) a prostaglandin derivative,
- (t) vitamin D or vitamin D derivative,
- (u) vitamin K or vitamin K derivative,
- 25 (v) ipriflavone,
- (w) fluoride salts,
- (x) dietary calcium supplement, and
- (y) osteoprotegerin.

30 24. The method of Claim 23 wherein:

- (a) the estrogen or estrogen derivative, alone or in combination with a progestin or progestin derivative, is selected from conjugated estrogen, equine estrogen, 17 β -estradiol, estrone, 17 β -ethynyl estradiol, alone or in combination with an agent selected from norethindrone and medroxyprogesterone acetate;
- 35 (b) the bisphosphonate is selected from:

- 5 (1) (4-amino-1-hydroxybutylidene)-bisphosphonate;
 (2) [(cycloheptylamino)-methylene]-bisphosphonate;
 (3) (dichloromethylene)-bisphosphonate;
 (4) [1-hydroxy-3-(1-pyrrolidinyl)-propylidene]-bisphosphonate;
 (5) (1-hydroxyethylidene)-bisphosphonate;
 (6) [1-hydroxy-3-(methylpentylamino)propylidene]-
 bisphosphonate;
 (7) (6-amino-1-hydroxyhexylidene)-bisphosphonate;
 (8) [3-(dimethylamino)-1-hydroxypropylidene]-
 10 bisphosphonate;
 (9) (3-amino-1-hydroxypropylidene)-bisphosphonate;
 (10) [2-(2-pyridinyl)ethylidene]-bisphosphonate;
 (11) [1-hydroxy-2-(3-pyridinyl)-ethylidene]-bisphosphonate;
 (12) {[4-chlorophenyl]thio}methylene}-bisphosphonate;
 15 (13) [1-hydroxy-2-(1H-imidazol-1-yl)ethylidene]-
 bisphosphonate; and
 (14) [1-hydroxy-2-imidazopyridin-(1,2-a)-3-ylethylidene]-
 bisphosphonate;
- (c) the antiestrogen or selective estrogen receptor modulator is selected from the
 20 group consisting of raloxifene, clomiphene, zuclomiphene, enclomiphene,
 nafoxidene, CI-680, CI-628, CN-55,945-27, Mer-25, U-11,555A, U-100A,
 tamoxifen, lasofoxifene, toremifene, azorxifene, EM-800, EM-652, TSE 424,
 droloxifene, idoxifene, and levormeloxifene;
- (d) the HMG-CoA reductase inhibitor is selected from lovastatin, simvastatin,
 25 dihydroxy-open acid simvastatin, pravastatin, fluvastatin, atorvastatin,
 cerivastatin, rosuvastatin, pitavastatin, and nisvastatin;
- (e) calcitonin is salmon calcitonin administered as a nasal spray;
- (f) bone morphogenetic protein is selected from BMP 2, BMP 3, BMP 5, BMP 6,
 BMP 7, TGF beta, and GDF5;
- 30 (g) insulin-like growth factor is selected from IGF I and IGF II alone or in
 combination with IGF binding protein 3;
- (h) the prostaglandin derivative is selected from agonists of prostaglandin
 receptors EP1, EP2, EP4, FP, and IP;
- (i) the fibroblast growth factor is selected from aFGF and bFGF;

- (j) parathyroid hormone (PTH) or PTH analog is selected from PTH subcutaneous injection, human PTH (1-84), human PTH (1-34), and other partial sequences, native or with substitutions;
- (k) vitamin D or vitamin D derivative is selected from natural vitamin D, 25-OH-vitamin D3, 1 α ,25(OH)₂ vitamin D3, 1 α -OH-vitamin D3, 1 α -OH-vitamin D2, dihydrotachysterol, 26,27-F6-1 α ,25(OH)₂ vitamin D3, 19-nor-1 α ,25(OH)₂ vitamin D3, 22-oxacalcitriol, calcipotriol, 1 α ,25(OH)₂-16-ene-23-yne-vitamin D3 (Ro 23-7553), EB1089, 20-epi-1 α ,25(OH)₂ vitamin D3, KH1060, ED71, 1 α ,24(S)-(OH)₂ vitamin D3, and 1 α ,24(R)-(OH)₂ vitamin D3;
- (l) the dietary calcium supplement is selected from calcium carbonate, calcium citrate, and natural calcium salts; and
- (m) the fluoride salts are selected from sodium fluoride and monosodium fluorophosphate (MFP); and pharmaceutically acceptable salts thereof.

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25. The method of Claim 16 which further comprises the administration of alendronate monosodium trihydrate.

26. The method of Claim 20 which further comprises the administration of a bone-strengthening agent selected from the group consisting of:
- (a) an estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
 - (b) a bisphosphonate,
 - (c) an antiestrogen or a selective estrogen receptor modulator,
 - (d) an α v β 3 integrin receptor antagonist,
 - (e) a cathepsin K inhibitor,
 - (f) an HMG-CoA reductase inhibitor,
 - (g) an osteoclast vacuolar ATPase inhibitor,
 - (h) an antagonist of VEGF binding to osteoclast receptors,
 - (i) an activator of peroxisome proliferator-activated receptor γ ,
 - (j) calcitonin,
 - (k) a calcium receptor antagonist,
 - (l) parathyroid hormone or analog thereof,
 - (m) a growth hormone secretagogue,
 - (n) human growth hormone,

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- (o) insulin-like growth factor,
- (p) a P-38 protein kinase inhibitor,
- (q) bone morphogenetic protein,
- (r) an inhibitor of BMP antagonism,
- 5 (s) a prostaglandin derivative,
- (t) vitamin D or vitamin D derivative,
- (u) vitamin K or vitamin K derivative,
- (v) ipriflavone,
- (w) fluoride salts,
- 10 (x) dietary calcium supplement, and
- (y) osteoprotegerin.

27. The method of Claim 26 wherein:

- (a) the estrogen or estrogen derivative, alone or in combination with a progestin or
15 progestin derivative, is selected from conjugated estrogen, equine estrogen,
17 β -estradiol, estrone, 17 β -ethynyl estradiol, alone or in combination with an
agent selected from norethindrone and medroxyprogesterone acetate;
- (b) the bisphosphonate is selected from:
 - (1) (4-amino-1-hydroxybutylidene)-bisphosphonate;
 - 20 (2) [(cycloheptylamino)-methylene]-bisphosphonate;
 - (3) (dichloromethylene)-bisphosphonate;
 - (4) [1-hydroxy-3-(1-pyrrolidinyl)-propylidene]-bisphosphonate;
 - (5) (1-hydroxyethylidene)-bisphosphonate;
 - (6) [1-hydroxy-3-(methylpentylamino)propylidene]-
25 bisphosphonate;
 - (7) (6-amino-1-hydroxyhexylidene)-bisphosphonate;
 - (8) [3-(dimethylamino)-1-hydroxypropylidene]-
bisphosphonate;
 - (9) (3-amino-1-hydroxypropylidene)-bisphosphonate;
 - 30 (10) [2-(2-pyridinyl)ethylidene]-bisphosphonate;
 - (11) [1-hydroxy-2-(3-pyridinyl)-ethylidene]-bisphosphonate;
 - (12) {[4-chlorophenylthio]methylene}-bisphosphonate;
 - (13) [1-hydroxy-2-(1H-imidazol-1-yl)ethylidene]-
bisphosphonate; and

- (14) [1-hydroxy-2-imidazopyridin-(1,2-a)-3-ylethylidene]-bisphosphonate;
- (c) the antiestrogen or selective estrogen receptor modulator is selected from the group consisting of raloxifene, clomiphene, zuclomiphene, enclomiphene, nafoxidene, CI-680, CI-628, CN-55,945-27, Mer-25, U-11,555A, U-100A, tamoxifen, lasofoxifene, toremifene, azorxifene, EM-800, EM-652, TSE 424, droloxifene, idoxifene, and levormeloxifene;
- (d) the HMG-CoA reductase inhibitor is selected from lovastatin, simvastatin, dihydroxy-open acid simvastatin, pravastatin, fluvastatin, atorvastatin, cerivastatin, rosuvastatin, pitavastatin, and nisvastatin;
- (e) calcitonin is salmon calcitonin administered as a nasal spray;
- (f) bone morphogenetic protein is selected from BMP 2, BMP 3, BMP 5, BMP 6, BMP 7, TGF beta, and GDF5;
- (g) insulin-like growth factor is selected from IGF I and IGF II alone or in combination with IGF binding protein 3;
- (h) the prostaglandin derivative is selected from agonists of prostaglandin receptors EP1, EP2, EP4, FP, and IP;
- (i) the fibroblast growth factor is selected from aFGF and bFGF;
- (j) parathyroid hormone (PTH) or PTH analog is selected from PTH subcutaneous injection, human PTH (1-84), human PTH (1-34), and other partial sequences, native or with substitutions;
- (k) vitamin D or vitamin D derivative is selected from natural vitamin D, 25-OH-vitamin D3, 1 α ,25(OH)₂ vitamin D3, 1 α -OH-vitamin D3, 1 α -OH-vitamin D2, dihydrotachysterol, 26,27-F6-1 α ,25(OH)₂ vitamin D3, 19-nor-1 α ,25(OH)₂ vitamin D3, 22-oxacalcitriol, calcipotriol, 1 α ,25(OH)₂-16-ene-23-yne-vitamin D3 (Ro 23-7553), EB1089, 20-epi-1 α ,25(OH)₂ vitamin D3, KH1060, ED71, 1 α ,24(S)-(OH)₂ vitamin D3, and 1 α ,24(R)-(OH)₂ vitamin D3;
- (l) the dietary calcium supplement is selected from calcium carbonate, calcium citrate, and natural calcium salts; and
- (m) the fluoride salts are selected from sodium fluoride and monosodium fluorophosphate (MFP);
- and pharmaceutically acceptable salts thereof.

28. The method of Claim 20 which further comprises the administration of alendronate monosodium trihydrate.

29. A composition comprising a compound according to Claim 1, or a pharmaceutically acceptable salt thereof, and a bone-strengthening agent selected from the group consisting of:

- 5 (a) an estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an $\alpha v \beta 3$ integrin receptor antagonist,
- (e) a cathepsin K inhibitor,
- 10 (f) an HMG-CoA reductase inhibitor,
- (g) an osteoclast vacuolar ATPase inhibitor,
- (h) an antagonist of VEGF binding to osteoclast receptors,
- (i) an activator of peroxisome proliferator-activated receptor γ ,
- (j) calcitonin,
- 15 (k) a calcium receptor antagonist,
- (l) parathyroid hormone or analog thereof,
- (m) a growth hormone secretagogue,
- (n) human growth hormone,
- (o) insulin-like growth factor,
- 20 (p) a P-38 protein kinase inhibitor,
- (q) bone morphogenetic protein,
- (r) an inhibitor of BMP antagonism,
- (s) a prostaglandin derivative,
- (t) vitamin D or vitamin D derivative,
- 25 (u) vitamin K or vitamin K derivative,
- (v) ipriflavone,
- (w) fluoride salts,
- (x) dietary calcium supplement, and
- (y) osteoprotegerin.

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30. The use of a compound of structural formula I for the preparation of a medicament useful for modulating the androgen receptor in a tissue selective manner in a patient in need of such modulation.

31. The use of a compound according to Claim 1, or a pharmaceutically acceptable salt thereof, for the preparation of a medicament useful for activating the function of the androgen receptor in a patient in need thereof.

5 32. The use of a compound according to Claim 1, or a pharmaceutically acceptable salt thereof, for the preparation of a medicament useful for treating a condition in a patient in need of such treatment which is caused by androgen deficiency or which can be ameliorated by androgen administration selected from the group consisting of osteoporosis, periodontal disease, bone fracture,
10 bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, female sexual dysfunction, post-menopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, prostate cancer, arthritis and joint repair.

15 33. The method of Claim 16 wherein the bone-strengthening agent is selected from the group consisting of:

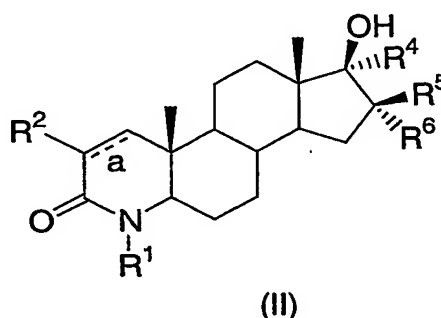
- (a) an estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- 20 (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an $\alpha v \beta 3$ integrin receptor antagonist,
- (e) a cathepsin K inhibitor,
- (f) an osteoclast vacuolar ATPase inhibitor,
- 25 (g) calcitonin, and
- (h) osteoprotegerin.

34. The method of Claim 20 wherein the bone-strengthening agent is selected from the group consisting of:

- 30 (a) an estrogen or an estrogen derivative, alone or in combination with progestin or progestin derivative,
- (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an $\alpha v \beta 3$ integrin receptor antagonist,
- 35 (e) a cathepsin K inhibitor,

- (f) an osteoclast vacuolar ATPase inhibitor,
- (g) calcitonin, and
- (i) osteoprotegerin.

5 35. A compound of structural formula II:



wherein

“a” represents a single bond or a double bond;

R¹ is hydrogen, hydroxymethyl, or C₁₋₃ alkyl, wherein alkyl is unsubstituted or

10 substituted with one to seven fluorine atoms;

R² is hydrogen, fluorine, or C₁₋₄ alkyl when “a” represents a double bond; or two R² substituents are each independently hydrogen, fluorine, or C₁₋₄ alkyl when “a” represents a single bond;

R⁴ is hydrogen, C₁₋₄ alkyl, C₂₋₄ alkenyl, or C₂₋₄ alkynyl;

15 one of R⁵ and R⁶ is hydrogen or methyl and the other is selected from the group consisting of

- (a) hydrogen,
- (b) C₁₋₈ alkyl,
- (c) C₂₋₈ alkenyl,

20 wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C₁₋₄ alkoxy, C₁₋₄ alkoxyC₁₋₄ alkoxy, and C₁₋₃ alkyloxycarbonyl;

- (d) fluoro,
- (e) cyano,
- (f) hydroxy,
- (g) C₁₋₆ alkoxy,
- (h) C₁₋₆ alkylcarbonyloxy,

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- (i) C₁₋₆ alkylthio,
- (j) C₁₋₆ alkylsulfonyl,
- (k) C₃₋₈ cycloalkyl C₀₋₆ alkyl,
- (l) C₃₋₈ cycloheteroalkyl C₀₋₆ alkyl,
- 5 (m) aryl C₀₋₆ alkyl,
- (n) aryl C₂₋₄ alkenyl,
- (o) amino,
- (p) C₁₋₃ acylamino,
- (q) aryl C₁₋₃ acylamino,
- 10 (r) C₁₋₆ alkylamino,
- (s) di(C₁₋₆ alkyl)amino,
- (t) aryl-C₀₋₃ alkylamino,
- (u) di(aryl-C₀₋₃ alkyl)amino,
- (v) C₃₋₆ cycloalkyl C₀₋₂ alkylamino,
- 15 (w) C₁₋₈ alkylsulfonylamino,
- (x) aryl C₀₋₃ alkylsulfonylamino,
- (y) C₁₋₈ alkyloxycarbonylamino,
- (z) aryl C₀₋₃ alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- 20 (bb) C₁₋₈ alkylaminocarbonylamino,
- (cc) aryl C₀₋₃ alkylaminocarbonylamino,
- (dd) C₁₋₈ alkylaminocarbonyloxy, and
- (ee) aryl C₀₋₃ alkylaminocarbonyloxy;

25 or R⁵ and R⁶ taken together with the carbon atom to which they are attached can form a C₃₋₆ spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC₀₋₄ alkyl;

or R⁵ and R⁶ taken together can be =CR⁹R¹⁰, wherein R⁹ and R¹⁰ are each independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl C₀₋₃ alkyl, C₃₋₆ cycloheteroalkyl C₀₋₃ alkyl, and aryl C₀₋₃ alkyl; or R⁹ and R¹⁰ taken together with the carbon atom to which they are attached can form a 3-
 30 to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC₁₋₄ alkyl, and NC₁₋₄ alkyloxycarbonyl;

wherein the aryl group in all instances above is selected from the group consisting of

- (1) phenyl,

- (2) naphthyl,
- (3) benzimidazolyl,
- (4) benzofuranyl,
- (5) benzothiophenyl,
- 5 (6) benzoxazolyl,
- (7) benzothiazolyl,
- (26) benzodihydrofuranyl,
- (27) 1,3-benzodioxolyl,
- (10) indolyl,
- 10 (11) quinolyl,
- (12) isoquinolyl,
- (13) furanyl,
- (14) thienyl,
- (15) imidazolyl,
- 15 (16) oxazolyl,
- (17) thiazolyl,
- (18) isoxazolyl,
- (19) isothiazolyl,
- (20) pyrazolyl,
- 20 (21) pyrrolyl,
- (22) pyridyl,
- (23) pyrimidyl,
- (24) pyrazinyl,
- (25) thiadiazolyl,
- 25 (26) oxadiazolyl,
- (27) triazolyl, and
- (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C₁₋₈ alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloheteroalkyl, aryl C₁₋₆alkyl, amino C₀₋₆alkyl, C₁₋₆ alkylamino C₀₋₆alkyl, (C₁₋₆ alkyl)₂amino C₀₋₆alkyl, aryl C₀₋₆ alkylamino C₀₋₆alkyl, (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl, C₁₋₆ alkylthio, aryl C₀₋₆alkylthio, C₁₋₆ alkylsulfinyl, aryl C₀₋₆alkylsulfinyl, C₁₋₆ alkylsulfonyl, aryl C₀₋₆alkylsulfonyl, C₁₋₆ alkoxy C₀₋₆alkyl, aryl C₀₋₆ alkoxy C₀₋₆alkyl, hydroxycarbonyl C₀₋₆alkyl, C₁₋₆ alkoxycarbonyl C₀₋₆alkyl, aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl, hydroxycarbonyl C₁₋₆ alkyloxy, hydroxy

C0-6alkyl, cyano, nitro, perfluoroC1-4alkyl, perfluoroC1-4alkoxy, oxo, C1-6 alkylcarbonyloxy, aryl C0-6alkylcarbonyloxy, C1-6 alkylcarbonylamino, aryl C0-6 alkylcarbonylamino, C1-6 alkylsulfonylamino, aryl C0-6alkylsulfonylamino, C1-6 alkoxy carbonylamino, aryl C0-6 alkoxy carbonylamino, C1-6alkylamino-
 5 carbonylamino, aryl C0-6alkylaminocarbonylamino, (C1-6alkyl)₂ aminocarbonylamino, (aryl C0-6alkyl)₂ aminocarbonylamino, (C1-6alkyl)₂ aminocarbonyloxy, and (aryl C0-6alkyl)₂ aminocarbonyloxy; with the provisos that
 (a) when "a" is a single or double bond, R¹ is hydrogen or methyl, and R², R⁵ and R⁶ are hydrogen, then R⁴ is not hydrogen, methyl, allyl, or n-propyl; and (b) when "a" is
 10 a single bond, R¹ is methyl, and R⁴ and R⁶ are hydrogen, then R⁵ is not methyl, ethyl, isopropyl, or allyl.

36. The compound of Claim 35 wherein R¹ is hydrogen or methyl and R⁴ is hydrogen.

15

37. The compound of Claim 35 wherein one of R⁵ and R⁶ is hydrogen or methyl and the other is selected from the group consisting of

- (a) hydrogen,
- (b) fluorine,
- 20 (c) C1-3 alkyl, wherein alkyl is unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C1-4 alkoxy, C1-3 alkoxy-C1-3 alkoxy, and C1-3 alkyloxycarbonyl; and
- (d) arylmethyl, wherein aryl is selected from the group consisting of phenyl, naphthyl, pyridyl, furanyl, pyrrolyl, thiazolyl, imidazolyl, benzofuranyl, and 1,3-
 25 benzodioxolyl, wherein the aryl group is unsubstituted or substituted with one to two groups independently selected from halogen, C1-4 alkyl, C1-4 alkoxy, cyano, trifluoromethyl, and trifluoromethoxy;
 or R⁵ and R⁶ taken together with the carbon atom to which they are attached can form a C3-6 spirocyclic ring system optionally containing a heteroatom selected
 30 from O, S, NH, NC1-4 alkyl, and N1-4 alkyloxycarbonyl;
 or R⁵ and R⁶ taken together can be =CR⁹R¹⁰, wherein R⁹ and R¹⁰ are each independently selected from the group consisting of hydrogen, C1-6 alkyl, C3-6 cycloalkyl-C0-3 alkyl, C3-6 cycloheteroalkyl-C0-3 alkyl, aryl C0-1 alkyl; or R⁹ and

R¹⁰ taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC₁₋₄ alkyl, and NC₁₋₄ alkyloxycarbonyl.

5 38. The compound of Claim 37 wherein one of R⁵ and R⁶ is hydrogen and the other is arylmethyl wherein aryl is as defined in Claim 37.

 39. The compound of Claim 38 wherein R⁵ is hydrogen and R⁶ is arylmethyl.

10 40. The compound of Claim 39 wherein R¹ is hydrogen or methyl and R⁴ is hydrogen.

 41. The compound of Claim 40 wherein "a" represents a double
15 bond.

 42. The compound of Claim 37 wherein R⁵ and R⁶ taken together are =CHaryl wherein aryl is as defined in Claim 37.

20 43. The compound of Claim 42 wherein R¹ is hydrogen or methyl and R⁴ is hydrogen.

 44. The compound of Claim 43 wherein "a" represents a double
 bond.

25 45. The compound of Claim 35 selected from the group consisting of:

16 α -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androst-1-en-3-one;
16 β -fluoro-17 α -hydroxy-4-methyl-4-aza-5 α -androst-1-en-3-one;
30 16 α -fluoro-17 β -hydroxy-4,17 α -dimethyl-4-aza-5 α -androst-1-en-3-one;
16 β -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androst-1-en-3-one;
2 α -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androstan-3-one;
2 β -fluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androstan-3-one;
2,2-difluoro-17 β -hydroxy-4-methyl-4-aza-5 α -androstan-3-one;

- 17 β -hydroxy-2 α ,4-dimethyl-4-aza-5 α -androst-3-one;
17 β -hydroxy-16 α -(methoxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(ethoxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(2-methoxyethoxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
5 17 β -hydroxy-16 α -(ethyloxycarbonylmethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(carboxymethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(2-hydroxyethyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -allyl-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-4,16 α ,17 α -trimethyl-4-aza-5 α -androst-1-en-3-one;
10 17 β -hydroxy-17 α -ethyl-4-methyl-4-aza-5 α -androst-3-one;
17 β -hydroxy-16 α -(2-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(3-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(4-trifluoromethylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(2-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
15 17 β -hydroxy-16 α -(3-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(4-fluorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(3-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(4-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(4-trifluoromethoxybenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
20 17 β -hydroxy-16 α -(3-methoxybenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -benzyl-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(2-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 α -(2-naphthyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 β -(2-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
25 17 β -hydroxy-16 β -(3-methylbenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 β -(4-chlorobenzyl)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 β -(2-chlorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 β -(3-fluorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 β -(3-trifluoromethylbenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-
30 one;
17 β -hydroxy-16 β -(2-trifluoromethylbenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-
one;
17 β -hydroxy-16 β -(3-methoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16 β -(3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-
35 one;

- 17 β -hydroxy-16-(5-methoxy-3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(3,5-difluorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(3,5-dichlorobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 5 17 β -hydroxy-16-(3,4-dimethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(pyridin-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(pyridin-4-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(cyclopropylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(furan-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 10 17 β -hydroxy-16-(1-methyl-imidazol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-cyclohexylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-ethylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-isopropylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 15 17 β -hydroxy-16-isobutylidene-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(piperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(N-t-butyloxycarbonylpiperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(1,3-thiazol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 20 one;
- 17 β -hydroxy-16-(benzofuran-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(5-hydroxymethyl-furan-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 25 17 β -hydroxy-16-(1-methyl-pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(2-ethoxy-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 30 17 β -hydroxy-16-(pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(2-methyl-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
- 17 β -hydroxy-16-(3-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;

- 17 β -hydroxy-16-(4-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(4-dimethylaminobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
5 17 β -hydroxy-16-(9-methoxy-quinolin-2-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(quinoxalin-6-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(quinoxalin-7-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(2-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
10 17 β -hydroxy-16-(3-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(4-hydroxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(pyridin-3-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(N-methylpiperidin-4-ylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
15 17 β -hydroxy-16-(2-chloro-4-dimethylaminobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(2-amino-pyridin-3-ylmethylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3-carboxymethyl-benzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
20 17 β -hydroxy-16-(3-carboxybenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(3-nitrobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
17 β -hydroxy-16-(4-nitrobenzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one; and
17 β -hydroxy-16-(benzylidene)-4-methyl-4-aza-5 α -androst-1-en-3-one;
25 or a pharmaceutically acceptable salt thereof.

46. A composition comprising a compound of Claim 35 and a pharmaceutically acceptable carrier.